

WHAT IS CLAIMED IS:

1. A polyamine analogue or derivative that binds to a polyamine-binding site of a molecule and/or inhibits polyamine transport, which analogue or derivative is an N¹-monosubstituted polyamine wherein said analogue or derivative is not compound 1022, 1071, 1085, 1110, 1111, 1163, 1166, 1202, or 1260.

2. An analogue or derivative according to claim 1 wherein said N¹-monosubstituted polyamine is an N¹-monosubstituted putrescine, spermidine, or spermine.

3. An analogue or derivative according to claim 1 wherein said N¹-monosubstitution comprises an amide linkage.

4. An analogue or derivative according to claim 1 wherein said N¹-monosubstitution comprises a sulfonamide linkage.

5. An analogue or derivative according to claim 1 wherein said N¹-monosubstitution comprises an amine.

6. An analogue or derivative according to claim 3 wherein said N¹-monosubstitution further comprises a linker moiety.

7. An analogue or derivative according to claim 3 wherein said N¹-monosubstitution further comprises an aminoalkyl moiety.

8. An analogue or derivative according to claim 3 wherein said N¹-monosubstitution further comprises an amino acid head group or derivative thereof.

5 9. An analogue or derivative according to claim 8 wherein said amino acid head group is protected, a naturally occurring amino acid, or a non-naturally occurring amino acid.

10 10. A polyamine analogue or derivative that binds to a polyamine-binding site of a molecule and/or inhibits polyamine transport, which analogue or derivative is a N¹,N¹²-disubstituted polyamine wherein said analogue or derivative is not compound 1247, 1279, or 1352.

15 11. An analogue or derivative according to claim 10 wherein said N¹,N¹²-disubstituted polyamine is selected from the group consisting of N¹,N¹²-diacyl-polyamine, N¹,N¹²-acylsulfonyl-polyamine, N¹,N¹²-dialkylamine-polyamine, N¹,N¹²-acylalkylamine-polyamine, N¹,N¹²-disulfonyl-polyamine, and N¹,N¹²-sulfonylalkylamine-polyamine.

20 12. An analogue or derivative according to claim 4 wherein said analogue or derivative is selected from the compounds listed in Figure 45h.

25 13. An analogue or derivative according to claim 3 wherein said analogue or derivative is selected from the compounds listed in Figures 45a-45c.

14. An analogue or derivative according to claim 8 wherein said analogue or derivative is selected from the compounds listed in Figures 45d-45g.

15. An analogue or derivative according to claim 11 wherein said analogue or derivative is selected from the compounds listed in Figures 46a-46f.

16. An analogue or derivative according to claim 1 or 10 wherein said analogue or derivative further comprises a reactive moiety that is capable of forming covalent bonds with a nucleophilic site on a target molecule.

17. A composition according to claim 16, wherein said target molecule is a protein or a nucleic acid.

18. A composition according to claim 16, wherein said target molecule is a cellular receptor or other cell surface molecule.

19. A composition useful for treating a disease or condition in which the inhibition of polyamine transport is desirable, comprising
a polyamine analogue or derivative according to claim 1 or 10, and
a pharmaceutically acceptable excipient.

20. A composition useful for treating a disease or condition in which the inhibition of polyamine transport and synthesis is desirable, comprising the composition of claim 19 and an inhibitor of polyamine synthesis.

21. A composition according to claim 20 wherein said inhibitor of polyamine synthesis is difluoromethylornithine (DFMO).

22. A composition according to claim 20, further comprising, in combination with said composition, one or more additional agents known to be useful for treating said disease or condition

23. A method for treating a disease or a condition in a subject associated with undesired cell proliferation and/or which is treatable by inhibition of polyamine transport, comprising administering to said subject an effective amount of a polyamine analogue or derivative that binds to a polyamine-binding site of a molecule and/or inhibits polyamine transport, which analogue or derivative is an N¹-monosubstituted polyamine or a N¹,N¹²-disubstituted polyamine.

24. A method according to claim 23 wherein said undesired cell proliferation is associated with proliferation of cells of the immune system, cell of the vascular neontima, tumor cells or with undesired angiogenesis.

25. A method according to claim 23 wherein said disease or condition is cancer or post-angioplasty injury.

26. A method for treating a disease or a condition in a subject associated with undesired cell proliferation and/or which is treatable by inhibition of polyamine transport and synthesis, comprising administering to said subject an effective amount of a polyamine analogue or derivative that binds to a polyamine-binding site of a molecule and/or inhibits polyamine transport, which analogue or derivative is an N¹-

monosubstituted polyamine or a N¹,N¹²-disubstituted polyamine, and an inhibitor of polyamine synthesis.

5 27. A method according to claim 26 wherein said inhibitor of polyamine synthesis is difluoromethylornithine (DFMO).

28. A composition according to claim 26, further comprising one or more additional agents known to be useful for treating said disease or condition

10 29. A method according to claim 23 or 26 wherein said analogue or derivative is selected from the group consisting of compounds 1090, 1157, 1202, 1224, 1340, and 1380.

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add a2
add b2

add
C4